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<http://www.cas.org/support/stngen/stdoc/properties.html>

->
Uploading C:\Users\rdesai\Documents\STN Express 8.4\Queries\10581175.str
L1 STRUCTURE UPLOADED

-> d l1
I.1 HAS NO ANSWERS
I.1 CTD
/ Structure 1 in file .gra /

Structure attributes must be viewed using STN Express query preparation.

-> s l1
SAMPLE SEARCH INITIATED 14.07.13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 637 TO ITERATE
100.0% PROCESSED 637 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS. ONLINE **COMPLETE**
PROJECTED ITERATIONS. BATCH **COMPLETE**
PROJECTED ANSWERS: 11226 TO 14254
3709 TO 5531

L2 50 SEA SSS SAM L1

-> s l1 full
FULL SEARCH INITIATED 14.07.13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 13163 TO ITERATE
100.0% PROCESSED 13163 ITERATIONS 5019 ANSWERS
SEARCH TIME: 00.00.01

L3 5019 SEA SSS FUL L1

->
Uploading C:\Users\rdesai\Documents\STN Express 8.4\Queries\10581175.str
L4 STRUCTURE UPLOADED

-> d l4
I.4 HAS NO ANSWERS
I.4 CTD
/ Structure 2 in file .gra /

Structure attributes must be viewed using STN Express query preparation.

=> s l4

```

SAMPLE SCREEN SEARCH COMPLETED - 637 TO ITERATE
100.0% PROCESSED 637 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) 50 ANSWERS
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS. ONLINE **COMPLETED**
BATCH **COMPLETED**
PROJECTED ITERATIONS. 11226 TO 14254
PROJECTED ANSWERS: 3421 TO 5179

L5 50 SEA SSS SAM L4
=> a 14
SAMPLE SEARCH INITIATED 14.12.57 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 637 TO ITERATE
100.0% PROCESSED 637 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) 50 ANSWERS
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS. ONLINE **COMPLETED**
BATCH **COMPLETED**
PROJECTED ITERATIONS. 11226 TO 14254
PROJECTED ANSWERS: 3421 TO 5179

L6 50 SEA SSS SAM L4
=> file caplus
'CAPLUS' IS NOT A VALID FILE NAME
SESSION CONTINUES IN FILE 'REGISTRY'
Enter "HELP FILE NAMES" at an arrow prompt (=>) for a list of files
that are available. If you have requested multiple files you can
specify a corrected file name or you can enter "IGNORE" to continue
accessing the remaining file names entered.
=> a 16
SAMPLE SEARCH INITIATED 14.12.13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 637 TO ITERATE
100.0% PROCESSED 637 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) 50 ANSWERS
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS. ONLINE **COMPLETED**
BATCH **COMPLETED**
PROJECTED ITERATIONS. 11226 TO 14254
PROJECTED ANSWERS: 3421 TO 5179

L7 50 SEA SSS SAM L4
=> file caplus
http://www.cas.org/legal/infopolicy.html
This file contains CAS Registry Numbers for easy and accurate
substance identification.
=> a 16
L8 8 L6

```

The invention relates to enniandolinopiperidine of formula I which are useful as antihelmintic compounds of formula I wherein Y is O and S. O is CH2CH(CH3)CH2CH2O, CH2CH2CH2CH2O etc. D1 is H, F, Cl, etc. A1 is H, halo and C1-4 alkyl. A2 is H, halo, (un)substituted C1-4 alkyl, etc. A3 and A4 are independently H, halo, C1-4 alkyl. R1, R4 and R5 are independently H, F, Cl, etc. R2 is H, F, NO2, etc. R3 is H, F, CN, etc. and pharmaceutically acceptable solvates, N-oxides and salts thereof are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compounds were evaluated for their antihelmintic activity (data given).

NT Datent
T.A English
FAM CNT 1

DRAT FD 2010-152817 20100205
 TIS 2010-202312D P 20100208
 MARRAT 155.271085
 1322048-72-0D ***1322048-37-2D*** ***1322048-73-6P***
 1322049-04-6D ***1322049-11-5D***

```

*****1322047-88-0***** *****1322047-88-0*****
DT: DAC (Pharmacological activity); SDM (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(Prep. of spiroindolinepiperidine derivs. as anthelmintics)
1322047-88-0 CAPIITS
Methanone, [5-chloro-1'-[ (2E)-3-(2-chlorophenyl)-2-propen-1-yl]-1,2-
dihydrospiro[3H-indole-3,4'-piperidin]-1-yl] (2-chloro-4-pyridinyl)- (CA
INDEX NAME)

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Double bond geometry as shown.

/ Structure 3 in file .gra /

PN 1322048-37-2 CAPLUS
CN Methanone [5-chloro-1'-[(2F)-3-(3,4-dichlorophenyl)-2-propen-1-yl]-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1-yl](2-fluoro-4-pyridinyl)- (CA INDEX NAME)

Double bond geometry as shown.

/ Structure 4 in file .gra /

PN 1322048-73-6 CAPLUS
CN Methanone [5-chloro-1'-[(2F)-3-[2-fluoro-4-(trifluoromethyl)phenyl]-2-propen-1-yl]-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1-yl](2-chloro-4-pyridinyl)- (CA INDEX NAME)

Double bond geometry as shown.

/ Structure 5 in file .gra /

PN 1322049-04-6 CAPLUS
CN Methanone [1'-[(2F)-3-(4-chloro-2-fluorophenyl)-2-propen-1-yl]-1,2-dihydro-5-methoxyspiro[3H-indole-3,4'-piperidin]-1-yl](2-chloro-4-pyridinyl)- (CA INDEX NAME)

Double bond geometry as shown.

/ Structure 6 in file .gra /

PN 1322049-11-5 CAPLUS
CN Methanone (2-chloro-4-pyridinyl)[5-methyl-1'-[(2F)-3-[4-(trifluoromethyl)phenyl]-2-propen-1-yl]spiro[3H-indole-3,4'-piperidin]-1(2H)-yl]- (CA INDEX NAME)

Double bond geometry as shown.

/ Structure 7 in file .gra /

REF CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 8 CAPLUS COPYRIGHT 2011 ACS on STN

/ Structure 8 in file .gra /

AB The invention relates to spiro piperidine derivs. of formula I, which are GPCR40 agonists and which are useful in the treatment of diabetes. Comds. of formula I wherein R¹ is H, F and Cl; R² is H, C₁₋₃ alkyl, CF₃, methoxy, F and Cl; R⁴ and R^{4a} are independently H, C₁₋₃ alkyl, CF₃, methoxy and F; provided that at least one of R⁴ and R^{4a} is H; R⁵ is H and 1-propynyl; X is CH₂CH₂, CH₂-CH₂, C(O)CH₂, etc.; R³ is H and C₁₋₃ alkyl; and pharmaceutically acceptable salts thereof are claimed. Example compd. II was prepd. by a multistep procedure (procedure given). All the invention comds. were evaluated for their GPCR40 agonistic activity. From the assay, it was detd. that compd. II exhibited an EC₅₀ value of 186.+- .93 nM with 91.+- 10% efficacy.
2011.199221 CAPLUS <<LOGINID::20111010>>
154:486226

Spironopiperidine derivatives as GPR40 agonists and their preparation and
 use for the treatment of diabetes
 Hamdouchi, Chafiq; Lingeswala, Jayana Pankaj; Maiti, Pranab
 Eli Lilly and Company, USA
 PCT Int. Appl.
 CODEM: PIXXD2., 73pp.
 Patent
 English
 INT 1

PATENT NO	KIND	DATE	APPLICATION NO	DATE
WO-201104221	A1	20110421	WO-2010-115521	20101011
W.	AF	AG	AI	AM
CA	CH	CI	CN	CO
DE	DK	DM	DN	DO
ES	ET	EU	FI	FR
GB	GR	HR	HU	IL
JP	KE	KR	LV	LT
MA	MC	MD	ME	MG
NI	NL	NO	NZ	OM
PE	PG	PH	PL	PT
RU	SA	SE	SG	SI
SK	SL	SM	SN	SP
ST	SV	SW	TH	TM
TD	TE	TF	TI	TL
TR	TT	TV	UA	UG
US	UY	UZ	VC	VE
WO	XA	XB	XC	XD
ZE	ZF	ZG	ZH	ZI
ZW				

DRAT IIS 20110092531 A1 20110421 IIS 2010-901597 20101011
 IIS 2009-251839D D 20091015
 IIS 2010-303334D D 20100211
 ASSIGNMENT HISTORY FOR IIS PATENT AVAILABIE IN LSUS DISPLAY FORMAT
 CASPPACT 154.486226. MAPDAT 154.486226
 IT ***1292291-63-4P*** ***1292291-63-4P*** ***1292291-81-6P***
 PT. PCT (Reactant). SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn of spironopiperidine derivs. as GPR40 agonists useful in the
 treatment of diabetes)
 1292291-81-6 CADIJIS
 Spino[3H-indole-3,4'-piperidine], 7-chloro-1,2-dihydro-1-methyl- (CA
 INDEX NAME)

/ Structure 9 in file .gra /

1292291-63-4 CADIJIS
 Benzenepropionic acid 4-[[4-[[1,2-dihydro-1-methyl-5-
 (trifluoromethyl)spiro[3H-indole-3,4'-piperidin]-1'-
 yl)methyl]phenyl]methoxy]-2-fluoro-, ethyl ester (CA INDEX NAME)

/ Structure 10 in file .gra /

/ Structure 11 in file .gra /

1292291-81-6 CADIJIS
 Benzenepropionic acid 4-[[4-[[1,2-dihydro-1,5-dimethylspiro[3H-indole-
 3,4'-piperidin]-1'-yl)methyl]phenyl]methoxy]-2-fluoro-, ethyl ester (CA
 INDEX NAME)

/ Structure 12 in file .gra /

/ Structure 13 in file .gra /

RE CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

1.9 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2011 ACS on STN
2.1

/ Structure 14 in file .gra /

AB The invention is related to the prepn of spiro comds T [X3 and X4 - CH2 and CH2, CH2 and CO, CO and CH2, Y1 and Y2 - any of X3 and X4, CH2 and S, SO2 and CH2, NH and CO, etc. P1 - aminoalkyl, etc. wherein each instance of aryl is optionally substituted with 1-2 halo substituents. P2 - absent, one halo substituent. P3 - H, alkylaryl, P5 = CO, SO2, P5 = haloaryl, nitroarylalkyl, aminoheteroarylthio, alkoxyheteroaryl, etc.], particularly spironipiperidines as tryptase inhibitors. Thus, spironipiperidine II was prepd by a multi-step synthesis from 1-(tert-butoxycarbonyl)-4-piperidone and studied in a beta-tryptase in-vitro enzyme assay, in an antigen-induced allergic sheep model of asthma and in a guinea pig model of allergic asthma. T are useful for treating a tryptase mediated inflammatory, vascular or dermatol. condition.

AN 2009.649329 CAPLUS <<LOGINID::20111010>>

DT 151.8305

TI Preparation of spironipiperidines as tryptase inhibitors

IN Costanzo, Michael J.; Yabut, Stephen C.; Tounge, Brett; Maryanoff, Bruce

DA F. Zhang, Han-Cheng

SO Tanssen Pharmaceuticals N.V., Belg.

PCT Int Appl., 291pp.

COFEN. PIXXD2

DT Patent

LA English

FAN CNT 1

DATE	NO	KIND	DATE	APPLICATION NO	DATE
WO	2009067202	A1	20090522	WO 2008-115122	20081116
W.	AF AG AI AM AO AT AU AZ BA BB BC BD BE BF BG BH BI BJ BK BL BM BN BO BP BR BS BT BU BV BW BX BY BZ CA CB CC CD CE CF CG CH CI CJ CK CL CM CN CO CP CQ CR CS CT CU CV CW CX CY CZ DA DB DC DD DE DF DG DH DI DJ DK DL DM DN DO DP DQ DR DS DT DU DV DW DX DY DZ EA EB EC ED EE EF EG EH EI EJ EK EL EM EN EO EP EQ ER ES ET EU EV EW EX EY EZ FA FB FC FD FE FF FG FH FI FJ FK FL FM FN FO FP FQ FR FS FT FU FV FW FX FY FZ GA GB GC GD GE GF GH GI GJ GK GL GM GN GO GP GQ GR GS GT GU GV GW GX GY GZ HA HB HC HD HE HF HG HH HI HJ HK HL HM HN HO HP HQ HR HS HT HU HV HW HX HY HZ IA IB IC ID IE IF IG IH II IJ IK IL IM IN IO IP IQ IR IS IT IU IV IW IX IY IZ JA JB JC JD JE JF JG JH JI JJ JK JL JM JN JO JP JQ JR JS JT JU JV JW JX JY JZ KA KB KC KD KE KF KG KH KI KM KN KO KP KQ KR KS KT KU KV KW KY KZ LA LB LC LD LE LF LG LH LI LJ LK LL LM LN LO LP LQ LR LS LT LU LV LW LX LY LZ MA MB MC MD ME MF MG MH MI MJ MK ML MN MO MP MQ MR MS MT MU MV MW MX MY MZ NA NB NC ND NE NF NG NH NI NJ NK NL NO NP NQ NR NS NT NU NV NW NX NY NZ OA OB OC OD OE OF OG OH OI OJ OK OL OM ON OP OQ OR OS OT OU OV OW OX OY OZ PA PB PC PD PE PF PG PH PI PJ PK PL PM PN PO PP PQ PR PS PT PU PV PW PX PY PZ QA QB QC QD QE QF QG QH QI QJ QK QL QM QN QO QQ QR QS QT QU QV QW QX QY QZ RA RB RC RD RE RF RG RH RI RJ RK RL RM RN RO RP RQ RR RS RT RU RV RW RX RY RZ SA SB SC SD SE SF SG SH SI SJ SK SL SM SN SO SP SQ SR SS ST SU SV SW SX SY SZ TA TB TC TD TE TF TG TH TI TJ TK TL TM TN TO TP TQ TR TS TT TU TV TW TX TY TZ UA UB UC UD UE UF UG UH UI UJ UK UL UM UN UO UP UQ UR US UT UV UW UX UY UZ VA VB VC VD VE VF VG VH VI VJ VK VL VM VN VO VP VQ VR VS VT VU VV VW VX VY VZ WA WB WC WD WE WF WG WH WI WJ WK WL WM WN WO WP WQ WR WS WT WU WV WZ XA XB XC XD XE XF XG XH XI XJ XK XL XM XN XO XP XQ XR XS XT XU XV XW XX XY XZ YA YB YC YD YE YF YG YH YI YJ YK YL YM YN YO YP YQ YR YS YT YU YV YW YX YY YZ ZA ZB ZC ZD ZE ZF ZG ZH ZI ZJ ZK ZL ZM ZN ZO ZP ZQ ZR ZS ZT ZU ZV ZW ZX ZY ZZ				
CA	2706391	A1	20090522	CA 2008-2706391	20081119
US	20090162527	A1	20090625	US 2008-213289	20081119
EP	2224803	A1	20100908	EP 2008-253021	20081119
D.	AT BE BG CH CY CZ DE DK EE ES ET EU EV EW EX EY EZ FA FB FC FD FE FF FG FH FI FJ FK FL FM FN FO FP FQ FR FS FT FU FV FW FX FY FZ GA GB GC GD GE GF GH GI GJ GK GL GM GN GO GP GQ GR GS GT GU GV GW GX GY GZ HA HB HC HD HE HF HG HH HI HJ HK HL HM HN HO HP HQ HR HS HT HU HV HW HX HY HZ IA IB IC ID IE IF IG IH II IJ IK IL IM IN IO IP IQ IR IS IT IU IV IW IX IY IZ JA JB JC JD JE JF JG JH JI JJ JK JL JM JN JO JP JQ JR JS JT JU JV JW JX JY JZ KA KB KC KD KE KF KG KH KI KM KN KO KP KQ KR KS KT KU KV KW KY KZ LA LB LC LD LE LF LG LH LI LJ LK LL LM LN LO LP LQ LR LS LT LU LV LW LX LY LZ MA MB MC MD ME MF MG MH MI MJ MK ML MN MO MP MQ MR MS MT MU MV MW MX MY MZ NA NB NC ND NE NF NG NH NI NJ NK NL NO NP NQ NR NS NT NU NV NW NX NY NZ OA OB OC OD OE OF OG OH OI OJ OK OL OM ON OP OQ OR OS OT OU OV OW OX OY OZ PA PB PC PD PE PF PG PH PI PJ PK PL PM PN PO PP PQ PR PS PT PU PV PW PX PY PZ QA QB QC QD QE QF QG QH QI QJ QK QL QM QN QO QQ QR QS QT QU QV QW QX QY QZ RA RB RC RD RE RF RG RH RI RJ RK RL RM RN RO RP RQ RR RS RT RU RV RW RX RY RZ SA SB SC SD SE SF SG SH SI SJ SK SL SM SN SO SP SQ SR SS ST SU SV SW SX SY SZ TA TB TC TD TE TF TG TH TI TJ TK TL TM TN TO TP TQ TR TS TT TU TV TW TX TY TZ UA UB UC UD UE UF UG UH UI UJ UK UL UM UN UO UP UQ UR US UT UV UW UX UY UZ VA VB VC VD VE VF VG VH VI VJ VK VL VM VN VO VP VQ VR VS VT VU VV VW VX VY VZ WA WB WC WD WE WF WG WH WI WJ WK WL WM WN WO WP WQ WR WS WT WU WV WZ XA XB XC XD XE XF XG XH XI XJ XK XL XM XN XO XP XQ XR XS XT XU XV XW XX XY XZ YA YB YC YD YE YF YG YH YI YJ YK YL YM YN YO YP YQ YR YS YT YU YV YW YX YY YZ ZA ZB ZC ZD ZE ZF ZG ZH ZI ZJ ZK ZL ZM ZN ZO ZP ZQ ZR ZS ZT ZU ZV ZW ZX ZY ZZ				

CSK TD AT RA MK DS
DDAT HS 2011504499 T 20110210 TP 2010-534955 20081119
WO 2008-11512899 D 20071121
CS CASREFACT 151.8305. MAPDAT 151:8305
IT ***1159093-65-8D***
DT. DAC (Pharmacological activity). SDN (Synthetic preparation). THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(drug candidate. prep of spiropiperidines as tryptase inhibitors)
DN 1159093-65-8 CAPLUS
CN Spiro[3H-indole-3,4'-piperidinal-1(2H)-acetic acid
5-(aminomethyl)-alpha-oxo-1'-[[5-(2-phenylethynyl)-2-furanyl]carbonyl]-,
ethyl ester (CA INDEX NAME)

/ Structure 15 in file .gra /

OSC 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2011 ACS on STN

/ Structure 16 in file .gra /

AR Title compts: T [R1 = 2-oxopiperidines with provisos; R2 = H, F, CN, etc.;
R3 = H, alkylene, etc.; R4 = H, alkylene, etc.; R5 = N, N-oxide, CP10, V =
N, N-oxide, CP11; Y = N, N-oxide, CP12; V = N, CP13; R10 = H, halo, CN
etc.; R11 = H, Cl, alkyl, etc.; R12 = H, halo, CN, etc.; R13 = H, halo,
alkyl, and their pharmaceutically acceptable salts and formulations were
afforded. For example, coupling of acid II and 2,3-dihydro-1H-indole
afforded claimed. In GPR receptor antagonists assays, 9-examples of
compts exhibited Ki values ranging from 2-690 nM.
AN 2009.646132 CAPLUS <<LOGINID::20111010>>
DN 151.8303
TI Preparation of 2,3-piperidinobenzamides GPR receptor antagonists
TN Gottschling, Dirk; Dahmann, Georg; Doede, Henri; Heimann, Annkatrin.
DA Mueller, Stephan; Georg, Rudolf; Klaus, Schaenzle, Gerhard; Stenkamp, Dirk
SO Boehringer Ingelheim International GmbH, Germany
PCT Int Appl., 399pp.
CDEN. PIXXD2
DT Patent
LA German
FAN CNT 1

	PATENT NO		KIND		DATE		APPLICATION NO		DATE									
DT	WO	2009065919	A2		20090528	WO	2008-EP65960		20081121									
	WO	2009065919	A2		20091001													
	W.	AF	AG	AI	AM	AO	AT	AU	AZ	BA	BB	BC	BD	BW	BV	BZ		
		CA	CH	CN	CO	CP	CU	CZ	DE	DK	DM	DO	DZ	EC	EE	EG	ES	
		FI	FR	GB	GR	GU	HN	HT	IE	IL	IN	IS	IT	JP	KE	KF	KG	
		KZ	KM	KN	KD	KP	KZ	LA	LC	LI	LT	LU	LV	MA	MD	ME	MG	
		MF	MG	MK	MN	MW	MY	MV	MZ	NA	NC	NE	NG	NI	NL	NZ	OM	PA
		PE	PG	PH	PK	PL	PT	QA	RO	RS	RU	SA	SD	SE	SG	SI	SK	SL
		TM	TN	TD	TS	TZ	UA	UG	US	UZ	VC	VN	VG	VI	VN	WA	WF	WG
	PW.	AT	BE	BG	CH	CY	CZ	DE	DK	EE	ES	FI	FR	GB	GR	GU	HT	IE
		IS	IS	IT	LT	LI	LV	MC	MT	NI	NO	PT	PT	RO	SE	SI	SK	TR
		TR	BF	BJ	CF	CG	CI	CM	GA	GN	GQ	GW	ML	MR	NE	SN	TD	

CA 2705405 AM RW GH GM KP LS MW MZ NA SD ST SZ T2, UG, ZM, ZW,
RD 2225223 D. AT RF RG CH CV CZ DE DK EF ES ET ED GR GP HD HI
IS IT IJ LV MC MT NL NO PL PT RO SE SI,
SK TD AT. RA MK PS
EP 2010-172020 20081121
CA 2008-2705405 20081121
RD 2008-251956
EP 20101117 20110609
CA 2008-251956 20110609
RD 2010-534484 20100913
CA 2010-743004
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
MAPDAT 151.8303
1159008-73-7D
PL: DAC (Pharmacological activity); SDN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(Prep of 25 niperidinobenzamides CGRP receptor antagonists)
1159008-73-7 CAPTUS
2H-Imidazo[4,5-b]pyridin-2-one, 1-[1-[6-[1-(2-dihydro-1'-methylspiro[3H-
indole-3,4'-niperidin]-1-yl)carbonyl]-4-pyrimidinyl]-4-piperidinyl]-1,3-
dihydro- (CA INDEX NAME)

/Structure 17 in file .gra /

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

ANSWER 5 OF 8 CAPLUS COPYRIGHT 2011 ACS on STN

/ Structure 18 in file .gra /

AB This invention relates to comds of formula I pharmaceutically
acceptable salts thereof, and pharmaceutical comds thereof which are
useful for the therapeutic treatment of diseases assocd with the
modulation or inhibition of 11 beta -HSD1 in mammals. The invention
further relates to pharmaceutical comds of the comds and methods for
their use in the redn or control of the prodn of Cortisol in a cell or
the inhibition of the conversion of cortisone to Cortisol in a cell
Comds of formula I wherein K, I, M, Y and V are independently C, N and
O, provided that the total no. of O and N in the ring is 3 or less and
when K, I, M, Y and V is O, any adjacent atoms in the ring cannot be O.
dotted line is single and double bonds. A and R are independently CH2 and
CH2CH2. P1 - P5 are independently H, (un)substituted (hetero)aryl,
(un)substituted alkyl, (un)substituted alkenyl, (un)substituted
cycloalkyl, (un)substituted arylalkyl, etc. when K, I, M, Y and V is -O-
and -N- then n1, n2, n3, n4 and n5, resp. is 0. when K, I, M, Y and V is
-N- and -C- then n1, n2, n3, n4 and n5, resp. is 1. when K, I, M, Y and
V is -C- then n1, n2, n3, n4 and n5, resp. is 2. when K, I, M, Y and V is
-C- and P1, P2, P3, P4 and P5 is connected through a double bond then
p1, p2, p3, p4 and p5, resp. is 1; Q is 0 and NH and derivs.; R7 is

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000024497	A2	2000080228	WO 2007-US18789	20070824
W.	M	M	R	R
DW.	F	F	T	T
CA 26615	A1	2000080228	CA 2007-2661503	20070824
DA 26615	A2	2000080228	DA 2007-2661503	20070824
ITD 201105011578	D1	20110210	ITS 2009-525653	20070824
ITS 201105011578	D1	20110210	ITS 2009-310457	20090903
WO 20000718789	W	2000070824		
ASSIGNMENT HISTORY FOR ITS PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
CASPAC 148-208196. MAPDAT 148-208196				
1017541-10-4P				
1017541-10-4P				
PT. PAC (Pharmacological activity); PRPH (Prophetic). SDN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USFS (Uses)				
(prophetic drug candidate; prem of azaspirocyclic comds) as				
11 beta -HSD1 inhibitors useful in the treatment of diseases)				
Spiro[3H-indole-3,4'-piperidin]-1'-carboxylic acid,				
1-(2,2-dimethyl-1-oxopropyl)-1,2-dihydro-				
5-(aminocarbonyl)tricyclo[3.3.1.3 ⁷ .7]dec-2-yl ester (CA INDEX NAME)				

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/ Structure 19 in file .gra /
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1017541-27-5 CAPLUS
 Spiro[3H-indole-3,4'-piperidinol-1,1'-(2H)-dicarboxylic acid,
 5-(trifluoromethyl)-1-(1,1-dimethylethyl)
 1'-tricyclo[3.3.1.1^{3,7}]dec-2-yl ester (CA INDEX NAME)

/ Structure 20 in file .gra /

1017541-88-6 CAPLUS
 Spiro[3H-indole-3,4'-piperidinol-1'-carboxamide
 1-acetyl-1,2-dihydro-N-[5-(hydroxymethyl)tricyclo[3.3.1.1^{3,7}]dec-2-yl]-
 (CA INDEX NAME)

/ Structure 21 in file .gra /

1017541-99-9 CAPLUS
 Spiro[3H-indole-3,4'-piperidinol-1'-carboxylic acid
 1-acetyl-1,2-dihydro-, 5-(hydroxymethyl)tricyclo[3.3.1.1^{3,7}]dec-2-yl ester
 (CA INDEX NAME)

/ Structure 22 in file .gra /

1017542-29-8 CAPLUS
 Spiro[3H-indole-3,4'-piperidinol-1'-carboxamide
 N-[5-(aminosulfonyl)tricyclo[3.3.1.1^{3,7}]dec-2-yl]-1,2-dihydro-1-methyl-
 (CA INDEX NAME)

/ Structure 23 in file .gra /

1017542-43-6 CAPLUS
 Spiro[3H-indole-3,4'-piperidinol-1'-carboxylic acid
 1,2-dihydro-1-methyl-, 5-(aminosulfonyl)tricyclo[3.3.1.1^{3,7}]dec-2-yl ester
 (CA INDEX NAME)

/ Structure 24 in file .gra /

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
 T.8
 CI ANSWER 6 OF 8 CAPLUS COPYRIGHT 2011 ACS on STN

/ Structure 25 in file .gra /

AR Comnds and pharmaceutically acceptable salts of the comnds are disclosed wherein the comnds have the structure of formula I as defined in the specification. Corresponding pharmaceutical comnds, methods of treatment, methods of synthesis and intermediates are also disclosed. Comnds of formula I wherein Y1 is CR7, Y2 is CR4, Y3 is CR6, Y4 is (CHP9)O-2, Y5 is CH2, CH2CH2, Y8 is CR3, P1, P2, P3, P4 and P6 are independently H, halo, CN, OH and derive alkyl, alkenyl, etc. P7 is H, halo, OH, alkyl, alkoxy, CN and alkyl-CO. P5, P8 and P9 are independently halo, CN, OH and derive CO2H and derive NH2 and derive H, alkyl, alkenyl, etc. P11, P12, P13 and P14 are independently halo, CN, H, CO2H and derivs., CONH2 and derivs., OH and derivs., NH2 and derivs., alkyl,

etc. R17 is (iii)substituted alkyl (iii)substituted alkenyl
(iii)substituted cycloalkyl and (iii)substituted cycloalkenyl. R18 is H,
halo and alkyl. R19 are H. R20R19 taken together to form -O- and their
pharmaceutically acceptable salts thereof are claimed. Example compd.
II but HCl was prepd. by reductive alkylation of
4-(2-methoxy-4-(trifluoromethylphenyl)piperidine hydrochloride with
1-methyl-1H-benzimidazole-2-carboxaldehyde. All the invention compds
were evaluated for their ability to potentiate mGluR2. From the assay, it
was detd. that compd. II exhibited EC50 value of < 0.0193 .mu.M.
2008.122519 CAPLUS <<LOGINID::20111010>>
148.215048
Benzimidazolyl compounds as potentiators of mGluR2 subtype of glutamate
receptor and their preparation, pharmaceutical compositions and use in the
treatment of diseases
Efremov, Ivan Viktorovich; Rogers, Bruce Nelsen; Duplantier, Allen Jacob;
Zhang, Lei; Zhang, Qian; Maklad, Noha Serour; Evrard, Edelweiss Virginie;
Brodney, Michael A
Pfizer Products Inc, USA
DOCT Int Appl., 170pp.
CODEN: PIXXD2
Patent
English
CNT 1
PATENT NO. KIND DATE ADDITION NO. DATE
WO 2008012623 A1 20080131 WO 2007-12032 20070712
W. AF AG AT AM AP AU AZ BA BB BG BI BJ BK BL BO BR BS BT BW BY BZ
CA CB CC CD CE CF CG CH CI CJ CK CL CM CN CO CP CQ CR CS CT CU CV CW CX
CY CZ DA DB DE DG DH DI DJ DK DL DM DN DO DP DQ DR DS DT DU DV DW DX
EY FZ GA GB GD GE GF GG GH GI GJ GK GL GM GN GO GP GQ GR GS GT GU GV
GW GY HZ HA HB HC HD HE HF HG HH HI HJ HK HL HM HN HO HP HQ HR HS HT
HU HV HW HX HY IZ IA IB IC ID IE IF IG IH II IJ IK IL IM IN IO IP IQ IR
IS IT IU IV IW IX IY JZ JA JB JC JD JE JF JG JH JI JJ JK JL JM JN JO JP
JQ JR JS JT JU JV JW JX JY KZ KA KB KC KD KE KF KG KH KI KJ KK KL KM KN
KO KP KQ KR KS KT KU KV KW KY LZ LA LB LC LD LE LF LG LH LI LJ LK LL LM
LN LO LP LQ LR LS LT LU LV LW LX LY MZ MA MB MC MD ME MF MG MH MI MJ MK
ML MN MO MP MQ MR MS MT MU MV MW MX MY MZ NA NB NC ND NE NF NG NH NI
NJ NK NL NO NP NQ NR NS NT NU NV NW NX NY OZ OA OB OC OD OE OF OG OH
OI OJ OK OL OM ON OP OQ OR OS OT OU OV OW OX OY PZ PA PB PC PD PE PF
PG PH PI PJ PK PL PM PN PO PP PQ PR PS PT PU PV PW PY QZ QA QB QC QD
QE QF QG QH QI QJ QK QL QM QN QO QQ QR QS QT QU QV QW QX QY RZ RA RB
RC RD RE RF RG RH RI RJ RK RL RM RN RO RP RR RS RT RU RV RW RX RY SZ
SA SB SC SD SE SF SG SH SI SJ SK SL SM SN SO SP SR SS ST SU SV SW SX
SY SZ TA TB TC TD TE TF TG TH TI TJ TK TL TM TN TO TP TR TS TT TV TW
TX TY UZ UA UB UC UD UE UF UG UH UI UJ UK UL UM UN UO UP UQ UR US UT
UU UV UW UX UY VZ VA VB VC VD VE VF VG VH VI VJ VK VL VM VN VO VP VQ
VR VS VT VU VV VW VX VY WZ WA WB WC WD WE WF WG WH WI WJ WK WL WM WN
WO WP WQ WR WS WT WU WV WW WX WY XZ XA XB XC XD XE XF XG XH XI XJ XK
XL XM XN XO XP XR XS XT XU XV XW XY YZ YA YB YC YD YE YF YG YH YI YJ
YK YL YM YN YO YP YQ YR YS YT YU YV YW YX YY ZZ ZA ZB ZC ZD ZE ZF ZG
ZH ZI ZJ ZK ZL ZM ZN ZO ZP ZQ ZR ZS ZT ZU ZV ZW ZX ZY
AP 61899 A1 20081001 AP 2007-103173 20070717
IS 20080280933 A1 20081113 IS 2007-780579 20070720
IS 2006-833149D D 20060725
CAPSULE 148.215048. MARPAT 148.215048
1004614-45-2D ***1004614-75-8D*** ***1004615-29-5P***
1004615-32-0D ***1004615-56-8D***
PT. DAC (Pharmacological activity). SDN (Synthetic preparation). THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(drug candidate. prepn of benzimidazolyl compds as potentiators of
mGluR2 or glutamate receptor useful in treatment and prevention of
diseases)
1004614-45-2 CAPSULE
Spiro[3H-indole-3,4'-piperidin-1(2H)-butanoic acid
5-methyl-1'-[(1-methyl-1H-benzimidazol-2-yl)methyl]-.gamma.-oxo-, methyl
ester (CA INDEX NAME)

/ Structure 26 in file .gra /

1004614-75-8 CAPSULE
1-Butanone 1-[(1,2-dihydro-1'-[(1-methyl-1H-benzimidazol-2-yl)methyl]spiro[3H-indole-3,4'-piperidin]-1-yl)]- (CA INDEX NAME)

/ Structure 27 in file .gra /

DN 1004615-29-5 CAPLUS
CN Spiro[3H-indole-3,4'-piperidinel 1,2-dihydro-5,7-dimethyl-1'-[(1-methyl-1H-benzimidazol-2-yl)methyl]-1-(3-methylbutyl)- (CA INDEX NAME)

/ Structure 28 in file .gra /

DN 1004615-32-0 CAPLUS
CN Spiro[3H-indole-3,4'-piperidinel 1,2-dihydro-5-methyl-1'-[(1-methyl-1H-benzimidazol-2-yl)methyl]-1-[(tetrahydro-2-furanyl)methyl]- (CA INDEX NAME)

/ Structure 29 in file .gra /

DN 1004615-56-8 CAPLUS
CN Spiro[3H-indole-3,4'-piperidinel 1,2-dihydro-5,7-dimethyl-1'-[(1-methyl-1H-benzimidazol-2-yl)methyl]-1-(2-methylbutyl)- (CA INDEX NAME)

/ Structure 30 in file .gra /

TT ***1004619-35-5P***
PT: PCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate: prepn of benzimidazolyl comds as potentiators of mGluR2 or glutamate receptor useful in treatment and prevention of diseases)
DN 1004619-35-5 CAPLUS
CN Spiro[3H-indole-3,4'-piperidinel-1'-carboxylic acid 5-bromo-1,2-dihydro-1-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

/ Structure 31 in file .gra /

OSC G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REF CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

T:8 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2011 ACS on STN
CI

/ Structure 32 in file .gra /

AR Title comds [1: R1 = (substituted) aliphatic amino; R2 = (substituted) cycloaliphatic, heterocycloaliphatic; L = bond -CH2-CH2CH2-; n = 0-11] were prepnd. Thus, Et 4-[1'-acetyl-2',3'-dihydro-1'H-spiro[piperidine-4,4'-quinolinel-1-yl]piperidine-1-carboxylate [prepn from text-R1] 2-oxo-2,3-dihydrospiro[indene-1,4'-piperidinel-1'-carboxylate and Et 4-oxopiperidine-1-carboxylate given] modulated M1 and M4 receptors with activity at <2 μ M

AN 2007.998619 CAPLUS <<LOGINID::20111010>>
DN 147.322962
TT Preparation of spiro condensed piperidines as modulators of muscarinic receptors

[illegible]

8-Azabicyclo[3.2.1]octane-8-carboxylic acid
3-[1-[[(dimethylamino)carbonyl]-1-2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl]-, 1,1-dimethylethyl ester, hydrochloride (1:1) (CA INDEX NAME)

/ Structure 34 in file .gra /

OSCG 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
DE CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

1.2 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2011 ACS on STN
2.1

/ Structure 35 in file .gra /

AR Title Comnds [I. P1 = H alkyl aryl aralkyl heteroaryl
heteroarylalkyl cycloalkyl heterocyclyl etc. P1P2 P1P3 = atoms to
form heterocyclyl heteroaryl. P2 = SO2P2. P3 = H alkyl Ac, CF3,
(substituted) aralkyl. P4 = halo alkyl alkoxy cyano amino
OCF3 OCH2CF3. P8 = (substituted) cycloalkyl cycloalkylalkyl,
heterocyclyl heterocyclylalkyl aryl aralkyl heteroaryl
heteroarylalkyl alkyl alkenyl alkynyl. Y = CHCO2P9 CHCO2P9 NSO2P9 CO,
CHP9 NP9 etc. V = (CH2)n O CO ACH. A = alkyl. Z = atoms to form an
aryl heteroaryl ring. P9 = H (substituted) alkyl aralkyl
cycloalkylalkyl heterocyclylalkyl heteroarylalkyl. m = 0-6. n = 0-21
were prepnd. Thus title compd (II) (soln phase prepnd outlined) s.c. in
mice substantially reduced cumulative food intake over a 4 h test.

AN 2005.451193 CAPLUS <<LOGINID::20111010>>
DN 142.482318
TI Preparation of spiroindolenipiperidine amino acid amides as modulators of
the growth hormone secretagogue receptor (GHS-R)
IN Distefano Peter. Nanner Andrew. Navia Manuel A. Curtis Perry A.; Luly,
DA Jay. Bone Jean-Francois. Thomas Russell J.; Saunders, Jeffrey O.
SO Elixir Pharmaceuticals Inc., USA
PCT Int Appl., 113 pp.
DT CODEN: PIXXD2
LA Patent
EN English
FAN CNT 1

DT	WO	2005046682	---	KIND	DATE	ADDITIONAL NO	DATE																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																				
	W.	AF	AG	AI	AM	AT	AT	AT	AT	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD	RE	RF	RG	RH	RI	RJ	RK	RL	RM	RN	RO	RP	RS	RT	RU	RV	RW	RX	RY	RA	RB	RC	RD

D. AT RF CH DE DK FS FD GB GD IT LT LT NT, SE, MC, PT,
 CM 1901908 T 20070124 CM 2004-80039713 20041104
 TD 2007510662 T 20070426 TD 2006-538496 20041104
 TM 2006DM02316 A 20070803 TM 2006-DM2316 20060427
 MY 2006005038 A 20071212 MY 2006-5038 20060504
 HS 20090261110 A1 20091022 US 2009-367585 20090209
 HS 7897765 R2 20110301
 DDAT HS 2003-517058D D 20031104
 HS 2004-982997 A1 20041104
 WO 2004-HS36870 W 20041104
 ASSIGNMENT HISTORY FOR HS PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OS CASREACT 142.482318. MARPAT 142:482318
 IT ***950835-73-1D***
 PL. DAC (Pharmacological activity). SDN (Synthetic preparation). THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (Prep of spiroindolenine-2-yl amino acid amides as modulators of
 growth hormone secretagogue receptor)
 950835-73-1 CASREACT
 Carboxylic acid N-[1-(1,2-dihydro-1-(2-methoxyacetyl)spiro[3H-indole-
 3,4'-piperidin]-1'-yl]-2-oxo-1-[1-(phenylmethoxy)methyl]ethyl]-,
 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 36 in file .gra /

OSC 2 THERE ARE 2 CASREACT RECORDS THAT CITE THIS RECORD (2 CITINGS)
 RE CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

-> file registry

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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 predicted properties as well as tags indicating availability of
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 on property searching in REGISTRY, refer to:

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-> file registry

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FULL ESTIMATED COST	1.02	262.86
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	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-6.96

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STRUCTURE FILE UPDATES: 9 OCT 2011 HIGHEST RN 1334702-53-2
DICTIONARY FILE UPDATES: 9 OCT 2011 HIGHEST RN 1334702-53-2

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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

~\Uploading C:\Users\rdesai\Documents\STN Express 8.4\Queries\10581175.str
L9 STRUCTURE UPLOADED

~\ 19
T.9 HAS NO ANSWERS
T.9 CTD
/ Structure 37 in file .gra /

Structure attributes must be viewed using STN Express query preparation.

~\ s 19 full
FULL SEARCH INITIATED 14.29.09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 13163 TO ITERATE
100.0% PROCESSED 13163 ITERATIONS 4570 ANSWERS
SEARCH TIME: 00.00.01

L10 4570 SEA SSS FUL L9

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FILE COVERS 1907 - 10 Oct 2011 VOL 155 ISS 16
FILE LAST UPDATED: 9 Oct 2011 (20111009/EN)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2011

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2011.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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-- s 110
L11 108 L10
-- s 111 and py<2003
23002482 PY<2003
L12 44 L11 AND PY<2003
-- d abs hitstr 4044
44 ANSWERS ARE AVAILABLE SPECIFIED ANSWER NUMBER EXCEEDS ANSWER SET SIZE
THE ANSWER NUMBERS REQUESTED ARE NOT IN THE ANSWER SET.
ENTER ANSWER NUMBER OR RANGE (1):40-44
```

12 ANSWER 40 OF 44 CAPLUS COPYRIGHT 2011 ACS on STN

/Structure 38 in file .gra /

AR o-Phenylacetone nitriles were converted to spiro comds. I (R = H, alkyl, cyano, alkanoyl, CO₂Rh, phenylalkyl, phenylalkanoyl, alkenyl, cycloalkylalkyl, omega-benzoylalkyl (or its ethylene ketal). R₁ = H, alkyl. R₂ and R₃ (same or different) are H, halo, CF₃, alkyl, alkoxy, OH, NO₂, NH₂, NHCHO, NHAc. R₄ = H, halo, alkyl, alkoxy, OH in a series of reactions. I exhibited antidepressant and anticonvulsant activity and they are useful as tranquilizers (no data). The cycloalkylation of 4-FC₆H₄CH₂CON by (ClCH₂CH₂)₂NMe gave 4-cyano-4-(2-fluorophenyl)-1-methylpiperidine, the product was heated with LiAlH₄ to give 1'-methylspiro[indoline-3,4'-piperidine], and the latter was N-acylated by 4-FC₆H₄CF₃ to I (R = Me, R₃ = 4-CF₃, R₁ = R₂ = R₄ = H).

1980.604474 CAPLUS <<LOGINID::20111010>>
92.204474
92.226213 226213
TI Spiro[indoline-3,4'-piperidine]
TM Ong, Helen H. Profitt, James A.
DA American Hoechst Corp. USA
CO U.S. Pat. Cont.-in-part of U.S. Ser. No. 789,723, abandoned.
CODEN. USXXAM
DT Patent
JA English
FAM CMT 2

	PATENT NO	KIND	DATE	APPLICATION NO	DATE
DT	US 4209625	A	19780624	US 1978-026185	19780822 <--
	DE 2816280	A1	19781207	DE 1978-2816280	19780415 <--
	FI 7801208	A	19781022	FI 1978-1208	19780419 <--
	DK 7801736	A	19781022	DK 1978-1736	19780420 <--
	NL 7804246	A	19781024	NL 1978-4246	19780420 <--

7A	7802273	A	107900125	7A	1078-2273	107800120	<--
AIH	7825286	B2	10791025	AU	1978-35286	19780420	<--
AIH	523709	B2	10820819				
CA	1105025	A1	10810714	CA	1078-301527	10780420	<--
SE	7801590	A	10781022	SE	1078-1590	10780421	<--
RF	866254	A1	10781022	RF	1078-187012	10780421	<--
ED	2387091	A1	10781117	FR	1978-11909	19780421	<--
ED	2387091	R1	10800829				
GR	1602020	A	10811118	GR	1078-15862	10780421	<--
ITS	4207225	A	10811222	ITS	1080-121824	10800215	<--
ITS	4215091	A	10820817	ITS	1080-108222	10801017	<--
ITS	4270922	A	10820412	ITS	1081-332174	10811218	<--
ITS	4270922	A	10820412	ITS	1081-332175	10811218	<--
ITS	4408050	A	10821004	ITS	1081-332178	10811218	<--
ITS	4477667	A	10841016	ITS	1981-332251	19811218	<--
DRAT	1077-789722	A2	10770421				
ITS	1078-026185	A2	10780822				
ITS	1080-121824	A1	10800215				
OS	CA SDFEACT 93.2011171. MADDAT 93.2011171						
IT	***75391-64-9D***		***75391-65-9D***				
	DI. SDN (Synthetic preparation); PREP (Preparation)						
	(prepn of)						
DN	75391-64-9	CADIJIS					
CN	Spiro[3H-indole-3,4'-piperidine], 1-ethyl-1,2-dihydro-1'-methyl-	(CA					
	INDEX NAME)						

/ Structure 39 in file .gra /

DN	75391-65-0	CADIJIS
CN	Spiro[3H-indole-3,4'-piperidine], 1-ethyl-1,2-dihydro-1'-methyl-, hydrobromide (1:2) (CA INDEX NAME)	

/ Structure 40 in file .gra /

OSG 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

T12 ANSWER 41 OF 44 CAPLUS COPYRIGHT 2011 ACS on STN
GI

/ Structure 41 in file .gra /

AB Spiroindolinopiperidines I (R = substituted phenylalkyl, phenoxyalkyl, benzoylalkyl, phenylalkenyl, phenyl(hydroxy)alkyl, benzodioxanyl, naphthoxyethyl, P1 = H, C1-4 alkyl, Dh optionally substituted by halogen, C1-4 alkyl, alkoxy, P2 = H, P1P2 = C1-4 alkylene, Y = O, H2) were prepared for use as antihypertensives and central nervous system depressants (no data). Thus, N-methoxyindole was treated with (ClCH2CH2)2NCH2Dh to give I (R = CH2Dh, P1 = Me, P2 = H, Y = O) which was debenzylated and treated with 4-chloro-1-(4-fluorophenyl)-1-ethylenedioxybutane to give I (R = 4-FC6H4CO(CH2)2, P1 = Me, P2 = H, Y = O).

AN 1079.121443 CAPLUS <<LOGINID::20111010>>
DN 90.121443
OPFF 90.102223 192263
TI Spiranic amine derivatives
DA Sumitomo Chemical Co., Ltd., Japan
SO Belg., 22 pp.

CODEM. BEXXAL
 Patent
 French
 CNT 1
 DATE NT NO KIND DATE ADDIICATION NO DATE
 DT DE 867517 ----- A1 19780918 DE 1978-188062 ----- 19780526 <--
 TD 54109982 A 19790829 TD 1978-15778 19780213 <--
 AT 7926169 A 19791122 AU 1978-36169 19780516 <--
 AT 511735 R2 19800904
 DE 2822227 A1 19790816 DE 1978-2822227 19780522 <--
 FS 470155 A1 19790101 FS 1978-470155 19780524 <--
 SE 7905919 A 19790814 SE 1978-5919 19780524 <--
 CH 625841 A5 19820429 CH 1978-5662 19780524 <--
 FD 2112815 A1 19800627 FR 1978-15606 19780525 <--
 FD 2442845 R1 19810724
 NT 7805814 A 19790815 NT 1978-5814 19780529 <--
 AT 7803888 A 19790915 AT 1978-3888 19780529 <--
 AT 256108 R 19800410
 CA 1092106 A1 19801223 CA 1978-304287 19780529 <--
 TS 4233307 A 19801111 TS 1978-910537 19780530 <--
 TD 1978-15778 A 19780213
 PRAT MADPAT 90.121113
 OS ***67677-69-4D*** ***67677-70-7P*** ***69214-85-3P***
 IT ***69214-89-7D***
 RT. SDN (Synthetic preparation); PREP (Preparation)
 (Prep. of)
 BN 67677-69-4 CAPLUS
 CN 1-Butanone 4-(1-ethyl-1,2-dihydro-1-methylspiro[3H-indole-3,4'-piperidin]-1'-yl)-
 1-(4-fluorophenyl)- (CA INDEX NAME)
 / Structure 42 in file .gra /
 BN 67677-70-7 CAPLUS
 CN 1-Butanone 4-(1-ethyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-1-
 (4-fluorophenyl)-, hydrochloride (1:2) (CA INDEX NAME)
 / Structure 43 in file .gra /
 BN 69214-85-3 CAPLUS
 CN Spiro[3H-indole-3,4'-piperidin]-1,2-dihydro-1-methyl-1'-(2-phenylethyl)-
 , hydrochloride (1:2) (CA INDEX NAME)
 / Structure 44 in file .gra /
 BN 69214-89-7 CAPLUS
 CN Spiro[3H-indole-3,4'-piperidin]-1'-ethanol
 1-ethyl-1,2-dihydro-.alpha.-(1-naphthalenylmethyl)- (CA INDEX NAME)
 / Structure 45 in file .gra /
 OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
 I12 ANSWER 42 OF 44 CAPLUS COPYRIGHT 2011 ACS on STN
 I1
 / Structure 46 in file .gra /

AB Twenty-nine title derives T [D D1 D2 = H halo alkyl alkoxy PhCH2O
 HO. D3 = H alkyl (un)substituted Ph. D4 = H. Or D3D4 = alkylene. Z = O,
 CO CHOH CH2CH a single bond. Z1 = alkylene. Z2 = H2 O1 and their HCl,
 salts were prepared by reaction of DP1D2C6H2Z2Z1Y (Y = halo) with II.
 I had autonomic nerve-inhibitory, central nerve-inhibitory, analgesic,
 antiarrhythmic, and antihypertensive activities (no data). Thus, a mixt
 of 1.62 g PhOCH2CH2OCl, 1.5 g II (D2 = Me, D4 = H, Z2O), 1.44 g K2CO3 and
 traces of KI was allowed to react 5 h at 90-100 degree, to give after
 treatment with HCl, I HCl salt (R = R1 = R2 = R4 = H, R3 = Me, Z = Z2 = O,
 Z1 = CH2CH2)
 AN 1978.529425 CAPLUS <<LOGINID::20111010>>
 DN 89.129425
 ODPF 89.200253 200283
 TT Spiroamine derivatives
 TN Ono Keiichi, Sasaki, Kikyo, Katsube, Junki; Yamamoto, Hisao
 DA Sumitomo Chemical Co. Ltd Japan
 SO Ono Keiichi Tokkyo Koho, 10 pp.
 CDDEN: JKXXAF
 DT Patent
 TA Japanese
 FAN CMT 1
 DATENT NO KIND DATE ADDITION NO DATE
 DT -----
 TD 53068784 A 19780619 TD 1976-144149 19761130 <--
 GR 1575800 A 19801001 GR 1978-19959 19780516 <--
 PRAT TD 1976-144149 A 19761130
 IT ***67677-63-8P*** ***67677-69-4P*** ***67677-70-7P***
 PI.: SPM (Synthetic preparation); PREP (Preparation)
 (Prep. of)
 DN 67677-63-8 CAPIIS
 CN Spiro[3H-indole-3,4'-piperidin] 1,2-dihydro-1-methyl-1'-(2-phenylethyl)-
 , hydrochloride (1:1) (CA INDEX NAME)

/ Structure 47 in file .gra /

DN 67677-69-4 CAPIIS
 CN 1-Butanone 4-(1,2-dihydro-1-methylspiro[3H-indole-3,4'-piperidin]-1'-yl)-
 1-(4-fluorophenyl)- (CA INDEX NAME)

/ Structure 48 in file .gra /

DN 67677-70-7 CAPIIS
 CN 1-Butanone 4-(1-ethyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-1-
 (4-fluorophenyl)-, hydrochloride (1:2) (CA INDEX NAME)

/ Structure 49 in file .gra /

OSCG 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

I.12 ANSWER 43 OF 44 CAPIIS COPYRIGHT 2011 ACS on STN
 CI For diagram(s), see printed CA Issue
 AB Attempts to isolate 2,3-spirocyclic indolenines, such as I, thought to be
 intermediates in the cyclization of benzylidenetryptamines to
 tetrahydro-.beta.-carboline, are described. One such
 spirocyclic indolenine, prepared by an alternative route from a
 spirocyclic oxindole deriv, was shown to undergo an extremely facile
 acid-catalyzed rearrangement to a tetrahydro-.beta.-carboline. In

contrast, two piperidinespiroindolenines did not rearrange to
 beta-carbolines even under very vigorous acidic treatment. The
 relevance of these reactions to a new theory of electrophilic substitution
 in 3-substituted indoles and the biogenesis of indole alkaloids is
 discussed. 30 references.

1968.29406 CAPLUS <<LOGINID::20111010>>
 68.29406
 68.76423 76462

Electrophilic substitution in indoles. II. Formation of 3,3-spirocyclic
 indole derivatives from tryptamines and their rearrangement to
 beta-carbolines.

Jackson, Anthony Hugh; Smith, Allan Edward
 Univ. Liverpool, Liverpool, UK
 Tetrahedron (***1968***) 24(1), 403-13
 CODEN: TETRAB; ISSN: 0040-4020

Journal
 English

16979-90-1P ***16979-91-2P*** ***16979-92-3P***
 16979-97-8P ***19326-24-0P***
 DT. SDN (Synthetic preparation); PREP (Preparation)
 (Prep. of)

16979-90-1 CADIJIS
 Spiro[3H-indole-3,4'-piperidin]-2-ol, 1,2-dihydro-1,1'-dimethyl- (CA
 INDEX NAME)

/ Structure 50 in file .gra /

16979-91-2 CADIJIS
 Spiro[3H-indole-3,4'-piperidin]-2-ol, 1,2-dihydro-1,1'-dimethyl-, compd.
 with 2,4,6-trinitrophenol (1:2) (CA INDEX NAME)

CM 1

CPN 16979-90-1
 CMF C14 H20 N2 O

/ Structure 51 in file .gra /

CM 2

CPN 88-89-1
 CMF C6 H3 N3 O7

/ Structure 52 in file .gra /

16979-92-3 CADIJIS
 Spiro[3H-indole-3,4'-piperidin]-2-ol, 1,2-dihydro-1,1'-dimethyl-, compd.
 with 2,4,6-trinitrophenol (1:1) (CA INDEX NAME)

CM 1

CPN 16979-90-1
 CMF C14 H20 N2 O

/ Structure 53 in file .gra /

CM 2
CDN 88-88-1
CMF C6 H3 N3 O7

/ Structure 54 in file .gra /

DN 16979-97-9 CADIJIS
CN Spiro[3H-indole-3,4'-piperidin]-2-ol, 1,2-dihydro-5-methoxy-1,1'-dimethyl-
(CA INDEX NAME)

/ Structure 55 in file .gra /

DN 19326-24-0 CADIJIS
CN Spiro[3H-indole-3,4'-piperidin]-2-ol
1,2-dihydro-5-methoxy-1,1'-dimethyl-, hydrochloride (1:?) (CA INDEX NAME)

/ Structure 56 in file .gra /

OSG 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)

I.12 ANSWER 44 OF 44 CADIJIS COPYRIGHT 2011 ACS on STN
ET For diagram(s) see printed CA Issue
AR Comps of the general structure (I) are prepared. The compd (II) (T P =
MeNHCO₂ P' = P'' = H) has only 0.01-0.001 the cholinesterase-inhibiting
potency of physostigmine; other I derive are completely inactive. Addn.
of 29.7 g NaNH₂ to 68 g 1-methyl-5-ethoxyoxindole and 61 g
MeN(CH₂CH₂Cl)₂ (III) in 350 ml PhMe at 35-45 degree refluxing addn of
10 ml EtOH after cooling extn with water and with 2 N HCl addn of
NH₄OH to the HCl extn diln to 2 l ether extn evapn. of the ether,
and taking the residue in petr ether gives 46.5 g
5-ethoxy-1,1'-dimethylspiro[piperidine-4,3'-ethoxyindole] (IV) m
82-3 degree. LiAlH₄ (19.4 g) in 100 ml ether added to 19.4 g IV in
400 ml tetrahydrofuran under N gives the compd (V) (T P = OEt P' = P''
= H). mono-HCl salt m 233-5 degree di-HCl salt m 231-3 degree.. IV
in xylene refluxed with D₂SO₅ and K₂S₂O₈ and extd with CHCl₃ gives
5-ethoxy-1,1'-dimethylspiro[piperidine-4,3'-thioxindole] m
133-7 degree which gives V on electrolytic reduction in AcOH and 50%
H₂SO₄ and purification by chromatographing on Al₂O₃. Heating 6 g V 2HCl,
and 50 g pyridine-HCl to 180-90 degree adding water and NH₄OH and
extg with CHCl₃ gives the compd (VI) (T P = OH P' = P'' = H) m
192-8 degree (from Me₂CO) very unstable to air. VI (2.2 g) treated
dropwise with cooling with 3 drops NEt₃ and 7 ml MeNCO the mixt extd
with C₆H₆ the ext washed with NaOH and water and the C₆H₆ extd gives
700 mg II m 140-1 degree (from C₆H₆-petr ether). From m-EtOC₆H₄NHMe,
b15 138-40 degree (N-Bz deriv m 109-10 degree) is prepd
N-methyl-N-chloroacetyl-m-phenetidine m 73-4 degree which gives
1-methyl-6-hydroxyoxindole m 209-10.degree.. 1-Methyl-6-ethoxyoxindole,
m 72-3 degree III and NaNH₂ give
6'-ethoxy-1,1'-dimethylspiro[piperidine-4,3'-oxindole] m 125-6.degree.;
the corresponding thioxindole m 133-4 degree is reduced
electrolytically to I (P = P'' = H P' = OEt) m 68-9 degree (from
pentane). Refluxing 71.6 g o-phenacetin with 9.2 g powd Na in 200 ml
C₆H₆ decanting from the excess Na warming the soln with 32 g Me₂SO₄,
washing with water and dil HCl evapn the C₆H₆ in vacuo taking the
residue in 200 ml alc and 20 ml water contg 56 g NaOH heating 48
hrs evapn the alc adding water extg with ether extg the ether
with 2 N HCl adding NH₃ and again extg with ether gives 20.6 g
N-methyl-o-phenetidine, b15 124-30.degree.; N-(p-nitrobenzoyl) deriv., m.

152-3 degree. N-Methyl- α -chloro- α -acetophenetidide m
 42-3 degree (6.84 g) and 6.84 g AlCl₃ heated to 150 degree then to
 190 degree with an addnl 6.84 g AlCl₃ dil HCl added and the mixt
 extd with CHCl₃ gives on NaOH extn 1 g 1-methyl-7-hydroxyindole, m.
 227-8 degree (from C₆H₁₂) and from the CHCl₃ soln 1.6 g
 1-methyl-2(3H)-benzoxazolone m. 56-7 degree (from petr ether). LiAlH₄
 reduction of 1,1'-dimethylspiro[piperidine-4,3'-oxindole] gives 1(P-R' =
 P(H)-H) m. 49-50.degree. (HCl salt, m. 243-5.degree.; salicylate, m.
 101-2 degree.)
 1952-57258 CAPLUS <<LOGINID::20111010>>
 46.57258
 46.95712-i 95722-h
 The synthesis of anhysoctigminelike compound
 Kretz, E.; Miller, J. M.; Schlittler, E.
 Univ. Basel, Switz.
 Helvetica Chimica Acta (***1952***), 35, 520-8
 CODEN: HCACAV; ISSN: 0018-019X
 Journal
 German
 860420-54-8 Spiro[indoline-3,4'-piperidine],
 5-ethoxy-1,1'-dimethyl-
 (and hydrochlorides)
 860420-54-8 CADIJIS
 Spiro[3H-indole-3,4'-piperidine], 5-ethoxy-1,2-dihydro-1,1'-dimethyl- (CA
 INDEX NAME)

/ Structure 57 in file .gra /

IT ***845825-82-3*** , Spiro[indoline-3,4'-piperidine], 1,1'-dimethyl-
 (and salts)
 845825-82-3 CADIJIS
 Spiro[3H-indole-3,4'-piperidine], 1,2-dihydro-1,1'-dimethyl- (CA INDEX
 NAME)

/ Structure 58 in file .gra /

IT ***845825-82-4P*** Salicylic acid comds with
 1,1'-dimethylspiro[indoline-3,4'-piperidine] ***860420-41-3P***
 Spiro[indoline-3,4'-piperidine]-5-ol 1,1'-dimethyl- methylcarbamate,
 (ester) ***860420-44-6P*** Spiro[indoline-3,4'-piperidine]-5-ol
 1,1'-dimethyl- ***860420-51-5P*** , Spiro[indoline-3,4'-piperidine],
 6-ethoxy-1,1'-dimethyl-
 PI. DREFD (Preparation)
 (prep of)
 845825-82-4 CADIJIS
 Benzoic acid 2-hydroxy- comd with
 1,2-dihydro-1,1'-dimethylspiro[3H-indole-3,4'-piperidine] (1:1) (CA INDEX
 NAME)
 CM 1
 CPM 845825-82-3
 CMF C14 H20 N2

/ Structure 59 in file .gra /

CM 2

CPM 60-72-7
 CMF C7 H6 O3

 / Structure 60 in file .gra /
 PN 860420-41-3 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidin]-5-ol, 1,2-dihydro-1,1'-dimethyl-,
 5-(N-methylcarbamate) (CA INDEX NAME)

 / Structure 61 in file .gra /
 PN 860420-44-6 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidin]-5-ol, 1,2-dihydro-1,1'-dimethyl- (CA
 INDEX NAME)

 / Structure 62 in file .gra /
 PN 860420-51-5 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidine], 6-ethoxy-1,2-dihydro-1,1'-dimethyl- (CA
 INDEX NAME)

 / Structure 63 in file .gra /

Connecting via Winsock to STN at pto-stn on port 23

Welcome to STN International! Enter x:X
 LOGINID:SSSPTA1612RXD
 PASSWORD.
 THIS LOGINID IS CURRENTLY IN USE
 DO YOU WISH TO RESUME THE PREVIOUS SESSION? Y/(N)/?:Y
 THE PREVIOUS SESSION IS BEING DISCONNECTED.
 PLEASE LOG IN AGAIN TO BE RECONNECTED
 SYSTEM LOGOFF AT 15:10:54 ON 10 OCT 2011 US EASTERN TIME

Connection closed by remote host

A new logon attempt will be made when this window closes. If
 you chose to RESUME PREVIOUS SESSION then continue with the
 logon process as normal. If not, choose Cancel or <ESC> to
 interrupt the logon process.

v
 Connecting via Winsock to STN at pto-stn on port 23

Welcome to STN International! Enter x:X

LOGINID:SSSPTA1612RXD

PASSWORD:

***** RECONNECTED TO STN INTERNATIONAL *****
SESSION RESUMED IN FILE 'STNCHIDE' AT 15.11.18 ON 10 OCT 2011
FILE 'STNCHIDE' ENTERED AT 15.11.18 ON 10 OCT 2011
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COST IN U S DOLLARS

	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	5.36	498.80
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)		
CAS SUBSCRIBER PRICE	0.00	-11.31

53-2

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experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

Uploading C:\Users\rdesai\Documents\STN Express 8.4\Queries\10581175.str

L13 STRUCTURE UPLOADED

L13 HAS NO ANSWERS
/ Structure 64 in file .gra /

Structure attributes must be viewed using STN Express query preparation.

SAMPLE SEARCH INITIATED 15.11.58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 475 TO ITERATE
100.0% PROCESSED 475 ITERATIONS 48 ANSWERS
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS. ONLINE **COMPLETE**
PROJECTED ITERATIONS. BATCH **COMPLETE**
PROJECTED ANSWERS: 545 TO 1375

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L14      48 SEA SSS SAM L13
-> s l13 full
FULL SEARCH INITIATED 15.12.04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 9929 TO ITERATE
100.0% PROCESSED 9929 ITERATIONS 1070 ANSWERS
SEARCH TIME: 00.00.01
L15      1070 SEA SSS FUL L13
-> file caplus
C
FILE COVERS 1907 - 10 Oct 2011 VOL 155 ISS 16
FILE LAST UPDATED: 9 Oct 2011 (20111009/EN)
REVISED CLASS FIELD: (/NCL) LAST RELOADED: Aug 2011
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2011
Capius now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2011.
CAS Information Use Policies apply and are available at:
http://www.cas.org/legal/infopolicy.html
This file contains CAS Registry Numbers for easy and accurate
substance identification.
-> s l15
L16      53 L15
-> d abs bib hitstr 45-53
L16 ANSWER 45 OF 53 CAPLUS COPYRIGHT 2011 ACS on STN
CI
/ Structure 65 in file .gra /
AB Biperidinopropylpiperidine derivs. were prep'd for use as human NK3
receptor antagonists (no data). Thus, 3,4-dichlorobenzonitrile was treated with
CH2=CHCO2Me to give 3,4-dichlorobenzonitrile (CH2CH2CO2Me)2 which was cyclized to
the piperidinopropylpiperidine and reduced to
3-(3,4-dichlorobenzyl)-3-(3-hydroxypropyl)piperidine (I). I was
N-benzoylated, converted to the mesylate, and aminated to give the
piperidinopropylpiperidine II.
AN 1997.302959 CAPLUS <<LOGINID::20111010>>
DN 126.277403
ORF 126.537753 537783
TI Novel human NK3 receptor-selective antagonist compounds containing them
TN Richon, Daniel; Edmonds-Alt, Xavier; Gueule, Patrick; Proietto, Vincenzo;
Van Broeck, Didier
DA Sanofi, Fr
SO BCT Int. Appl., 189 pp.
CODEN PIXXD2
DT Patent
LA French
FAM CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

```

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

1.16 ANSWER 46 OF 53 CADIJIS COPYRIGHT 2011 ACS on STM
 AR A method for solid phase synthesis of spiroindolines using the Fischer
 indole reaction is describe. Various arylhydrazines react cleanly with
 polymer bound piperidine-4-carboxaldehyde in TFA/CH2Cl2. The products are
 isolated in good yields and high purity.
 AN 1997.169875 CAPLUS <<LOGINID::20111010>>
 DN 126.277373
 OPFF 126.527713 527713
 TI Solid phase synthesis of spiroindoline
 AU Cheng, Yuan; Chanman, Kevin T
 CS Den Mol Design Diversity Merck Res Lab Rahway, NJ, 07065, USA
 SO Tetrahedron Letters (1997) 38(9), 1497-1500
 COORDEN: TELEAY; ISSN: 0040-4039
 DB Elsevier
 DT Journal
 LA English
 OS CASREACT 126.277373
 IT ***188646-86-8D*** ***188646-87-9D*** ***188646-88-0D***
 188646-89-1D ***188646-90-4D*** ***188646-91-5D***
 188646-92-6D ***188646-93-7D*** ***188646-94-8D***
 188646-95-9D ***188646-96-0D*** ***188646-97-1D***
 188646-98-2D ***188646-99-3D*** ***188647-00-9P***
 188647-02-1D ***188647-03-2D***
 DT. SYN (Synthetic preparation): DPFF (Preparation)
 (solid phase synthesis of spiroindolines)
 DN 188646-86-8 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-1,2-dihydro-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 68 in file .gra /

DN 188646-87-9 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-7-bromo-1,2-dihydro-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 69 in file .gra /

DN 188646-88-0 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-1,2-dihydro-.gamma.-oxo-5-(trifluoromethyl)-, methyl ester (CA
 INDEX NAME)

/ Structure 70 in file .gra /

DN 188646-89-1 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-4,6-dichloro-1,2-dihydro-.gamma.-oxo-, methyl ester (CA INDEX
 NAME)

/ Structure 71 in file .gra /

DN 188646-90-4 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-1,2-dihydro-6-nitro-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 72 in file .gra /

DN 188646-91-5 CADI.HIS
 CN Spiro[3H-indole-3,4'-piperidinel-1']-butanoic acid
 1-acetyl-1,2-dihydro-5-nitro-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 73 in file .gra /

DN 188646-92-6 CADI.HIS
 CN Spiro[3H-indole-3,4'-piperidinel-1']-butanoic acid
 1-acetyl-5,6-dichloro-1,2-dihydro-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 74 in file .gra /

DN 188646-93-7 CADI.HIS
 CN Spiro[3H-indole-3,4'-piperidinel-1']-butanoic acid
 1-acetyl-5,7-dichloro-1,2-dihydro-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 75 in file .gra /

DN 188646-94-8 CADI.HIS
 CN Spiro[3H-indole-3,4'-piperidinel-1']-butanoic acid
 1-acetyl-1,2-dihydro-.gamma.-oxo-4,6-bis(trifluoromethyl)-, methyl ester (CA INDEX NAME)

/ Structure 76 in file .gra /

DN 188646-95-9 CADI.HIS
 CN Spiro[3H-indole-3,4'-piperidinel-1']-butanoic acid
 1-acetyl-5-(1,1-dimethylethyl)-1,2-dihydro-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 77 in file .gra /

DN 188646-96-0 CADI.HIS
 CN Spiro[3H-indole-3,4'-piperidinel-1']-butanoic acid
 1-acetyl-1,2-dihydro-.gamma.-oxo-5-(phenylmethoxy)-, methyl ester (CA INDEX NAME)

/ Structure 78 in file .gra /

DN 188646-97-1 CADI.HIS
 CN Spiro[3H-indole-3,4'-piperidinel-1']-butanoic acid
 1-acetyl-1,2-dihydro-4,7-dimethyl-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 79 in file .gra /

DN 188646-98-2 CADI.HIS
 CN Spiro[3H-indole-3,4'-piperidinel-1']-butanoic acid
 1-acetyl-1,2-dihydro-5-methoxy-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 80 in file .gra /
DN 188646-99-3 CADIJIS
CN Spiro[3H-indole-3,4'-piperidinal-1'-butanoic acid
1-acetyl-1,2-dihydro-.gamma.-oxo-5-(trifluoromethoxy)-, methyl ester (CA
INDEX NAME)

/ Structure 81 in file .gra /
DN 188647-00-9 CADIJIS
CN Spiro[3H-indole-3,4'-piperidinal-1'-butanoic acid
1-acetyl-1,2-dihydro-5-(2-oxazolyl)-.gamma.-oxo-, methyl ester (CA INDEX
NAME)

/ Structure 82 in file .gra /
DN 188647-02-1 CADIJIS
CN Spiro[3H-indole-3,4'-piperidinal-1'-butanoic acid
1-acetyl-1,2-dihydro-4-nitro-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 83 in file .gra /
DN 188647-03-2 CADIJIS
CN Spiro[3H-indole-3,4'-piperidinal-1'-butanoic acid
1-acetyl-4,5-dichloro-1,2-dihydro-.gamma.-oxo-, methyl ester (CA INDEX
NAME)

/ Structure 84 in file .gra /
OSCG 41 THERE ARE 41 CADIJIS RECORDS THAT CITE THIS RECORD (41 CITINGS)
REF CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

T:16 ANSWER 47 OF 53 CAPLUS COPYRIGHT 2011 ACS on STN
CI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB There are disclosed certain novel comds identified as spiro piperidines
and homologs I and II wherein: P1 = a C1-10 alkyl, aryl, aryl-(C1-6
alkyl), P2 = a C1-6 alkyl, C3-7 cycloalkyl, P3a and P3b are
independently a C1-6 alkyl, C3-7 cycloalkyl, P4 and P5 are independently H,
C1-6 alkyl, substituted C1-6 alkyl where the substituents on alkyl are,
a C1-6 alkyl, substituted C1-6 alkyl where the substituents on alkyl are,
(CH2)xCOP7P7a(CH2)y or 7(CH2)xCOP7P7a(CH2)y wherein x and y are
independently 0, 1, 2, or 3, Z is MP2 or O, P7 and P7a are independently
a C1-6 alkyl, OP2, R, D, E and F are independently selected from,
OP2P10, O, CO, SOm, MP9 wherein one or two of R, D, E or F may be
optionally absent to provide a 5 or 6 membered ring, P8 and P10 are
independently a C1-6 alkyl, P2, OP2, P9 = a C1-6 alkyl, P2, COP2, SO2P2, m is 0, 1, or
2, n is 1 or 2, G, H, I and J are carbon, nitrogen, sulfur or oxygen,
atoms such that one or two is a heteroatom and where one of G, H, I or J
may be optionally absent to afford a 5 or 6 membered heterocyclic arom.
ring; and the pharmaceutically acceptable salts and individual

AN 1996-1469925 CAPLUS <<LOGINID::20111010>>
 DT 125.192372
 OR 125.367992 368022
 TT Spiro niperidines which promote release of growth hormone
 TM Chen, Ming-Hsin; Johnston, David B. R.; Nargund, Ravi P.; Patchett, Arthur
 PA A. Mata, James P. Varga, Lihu
 SO Merck and Co. Inc., NJ
 DT 12 on Cont.-in-part of U.S. Ser. No. 989, 322, abandoned.
 DT Contn. USXXAM
 LA Patent
 LA English

[illegible]

7A	0200272			10040808	7A	1003-0272	10031210
7A	0200274	A		10040808	7A	1003-0274	10031210
TD	06262737	A		10040820	JP	1993-341522	19931210
TD	2509530	R2		10060619			
WD	0201486	R1		20030831	WD	1003-1486	10031210
CN	1002071	A		10040914	CN	1993-112858	19931211
CN	1024733	C		10070430			
ET	0502862	A		10050609	ET	1005-2862	10050609
ET	0502862	A		10050609	ET	1005-2862	10050609
NO	0502294	A		10050810	NO	1005-2294	10050609
NO	0502295	A		10050810	NO	1005-2295	10050609
ITS	5652235	A		10070729	ITS	1996-641311	19960430
DDAT	ITS	1002-089322	R2	10021211			
	ITS	1002-146848		10021103			
	ITS	1002-147226	A	10021103			
	WO	1002-ITS11038	WI	10021115			
	WO	1002-ITS11137	WI	10021115			

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

NO MAPDAT 125.106372

TT ***159633-96-2D*** ***159634-43-2D***

DT.: RAC (Biological activity or effector except adverse); RSU (Biological study unclassified); FFD (Food or feed use); SPN (Synthetic preparation); THH (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(spiro piperidines which promote release of growth hormone)

DN 159633-96-2 CADIIS

CN Propanamide, N-[2-[(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-amino-2-methyl-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 85 in file .gra /

DN 159634-43-2 CADIIS

CN Propanamide, N-[2-[(1P)-2-[(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-amino-2-methyl-, (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 86 in file .gra /

TT ***180466-15-2D***

DT.: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(spiro piperidines which promote release of growth hormone)

DN 180466-15-2 CADIIS

CN Carbanic acid, [2-[[2-[(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 87 in file .gra /

OSCG 38 THERE ARE 38 CADIIS RECORDS THAT CITE THIS RECORD (60 CITINGS)

REFCNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

16 ANSWER 48 OF 53 CAPLUS COPYRIGHT 2011 ACS on STN

GI

/ Structure 88 in file .gra /

AB A general approach to spiro-piperidinyl heterocycles I (Z = S, O, NH, NAc)
was obtained from the key intermediates II via an efficient radical
reaction
AN 1996.157222 CAPLUS <<LOGINID::20111010>>
DN 125.221546
DPDF 125.414053 414083
TI Free radical method for the synthesis of spiro-piperidinyl heterocycles
AU Chen Meng-Hsin; Abraham John A
AU Dean Medicinal Chem Merck Res Lab Rahway, NJ, 07065, USA
SO Tetrahedron Letters (1996) 37(30), 5233-5234
CO CODEN: TELEAY; ISSN: 0040-4039
DE Elsevier
DT Journal
LA English
TS CAPDFACT 125.221546
IT ***181271-51-2D***
PT. SDN (Synthetic preparation). DPDF (Preparation)
(synthesis of spiro-piperidinyl heterocycles)
RN 181271-51-2 CAPLUS
CN Spiro[3H-indole-3,4'-piperidine]-1'-carboxylic acid
1-acetyl-1,2-dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)

/ Structure 89 in file .gra /

OSC.G 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
T.16 ANSWER 49 OF 53 CAPLUS COPYRIGHT 2011 ACS on STN
GI

/ Structure 90 in file .gra /

AB Spirocyclic nitrogen-heterocyclic comds were disclosed as tachykinin
receptor antagonists useful for the treatment of inflammatory diseases
pain or migraine and asthma. In particular said comds were shown to
be neurokinin antagonists. Many example comds are claimed. One such
specific compd is N-[2-(2,4-dichlorophenyl)-4-[1,2-dihydro-1-
(sulfonylmethyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]butyl]-2,2-
dimethylpropanamide (I)
AN 1995.781772 CAPLUS <<LOGINID::20111010>>
DN 123.169671
DPDF 123.303033 303063
TI Preparation of spirocyclic compounds as neurokinin antagonists
AU MacGoss Malcolm; Mills Sander G.; Shah Shrenik K.; Chiang Yuan-Ching
AU D. Dunn Patrick T.; Koyama, Hiroo; Finke, Paul E.; Qi, Hongbo;
AU Bohichaud Albert T.
DA Merck and Co, Inc USA
SO DCT Int Appl., 226 pp.
CO CODEN: PIXXD2
DE Patent
DT Patent
LA English
TS PATENT 1
IT PATENT NO. KIND DATE APPLICATION NO. DATE

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DN  CN  W  Q  94729209  W.  AN  RR  RQ  RD  RV  CA  CN  CZ  FT  HT  TD  KD  KZ  I.K  LV, MG,
      DW.  AT  RF  CH  CF  CK  ES  EP  GR  GT  IE  IT  IJ  MC  NI,  PT, SE,
      CA  21629995  A1  19941222  W  1994-1155545  19940517
      AT  9472011  A  19950103  AU  1994-72011  19940517
      AT  690020  R2  19970717
      ED  702621  A1  19960327  ED  1995-901979  19940517
      D.  AT  RF  CH  CF  CK  ES  EP  GR  GT  IE  IT  IJ  MC  NI,  PT, SE,
      TD  08511522  T  19961203  TD  1994-501802  19940517
      ZA  9403946  A  19950120  ZA  1994-3946  19940606
      IS  1992-72904  A  19920607
      W  1994-1155545  W  19940517
      MAPDAT  122.169671
      ***167484-19-7D***
      RT.  RCT (Reagent).  SPN (Synthetic preparation); PREP (Preparation); RACT
      (Reagent or reagent)
      (Prep. of spirocyclic compds. as kinin receptor antagonists)
      167484-19-7  CADIIS
      Ethanone, 1-(1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1-yl)- (CA INDEX
      NAME)

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/ Structure 91 in file .gra /

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DN  CN  ***167484-09-5D***  ***167484-10-8D***  ***167484-12-0D***
      ***167484-17-5D***  ***167484-29-1D***  ***167484-48-2D***
      ***167484-49-3D***  ***167484-50-6D***  ***167484-51-7D***
      ***167484-55-1D***  ***167485-05-1D***  ***167485-08-7D***
      ***167485-11-2D***  ***167485-12-3D***  ***167485-13-4D***
      ***167485-14-5D***  ***167485-15-6D***  ***167485-16-7D***
      ***167485-17-8D***  ***167485-18-9D***  ***167485-19-0D***
      ***167485-20-3D***  ***167485-21-1D***  ***167485-22-5D***
      ***167485-23-6D***  ***167485-24-7D***  ***167485-25-8D***
      ***167485-26-9D***  ***167485-27-0D***  ***167485-28-1D***
      ***167485-33-8D***  ***167485-34-9D***  ***167485-37-2D***
      ***167485-41-9D***  ***167485-42-9D***  ***167485-43-0D***
      ***167485-46-3D***  ***167485-47-4D***  ***167485-48-5D***
      ***167485-50-9D***  ***167485-52-1D***  ***167485-55-1D***
      ***167485-56-5D***  ***167485-57-6D***  ***167485-58-7D***
      ***167485-59-8D***  ***167485-60-1D***  ***167485-80-5D***
      ***167485-81-6D***  ***167485-82-7D***  ***167485-83-8D***
      ***167485-84-9D***  ***167485-85-0D***  ***167485-90-7D***
      RT.  SDN (Synthetic preparation).  THU (Therapeutic use); BIOL (Biological
      study).  DPREP (Preparation).  IISPS (IISes)
      (Prep. of spirocyclic compds. as kinin receptor antagonists)
      167484-09-5  CADIIS
      Benzamide, N-[(2S)-4-[(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-
      1'-yl)-2-(3,4-dichlorophenyl)butyl]-N,3,5-trimethyl- (CA INDEX NAME)

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Absolute stereochemistry.

/ Structure 92 in file .gra /

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DN  CN  167484-10-8  CADIIS
      Benzamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-[1,2-dihydro-1-(1-
      oxopropyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]butyl]-N,3,5-trimethyl-
      (CA INDEX NAME)

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Absolute stereochemistry.

/ Structure 93 in file .gra /

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DN  167484-12-0  CADI.HIS
CN  Benzamide N-[(2S)-2-(3,4-dichlorophenyl)-4-[1-(2,2-dimethyl-1-oxopropyl)-
    1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl]butyl]-N,3,5-trimethyl-
    (CA INDEX NAME)

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Absolute stereochemistry.

/ Structure 94 in file .gra /

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DN  167484-17-5  CADI.HIS
CN  Benzamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-
    1'-yl)-2-(3,4-dichlorophenyl)butyl]-3-fluoro-N-methyl-5-(trifluoromethyl)-
    (CA INDEX NAME)

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Absolute stereochemistry.

/ Structure 95 in file .gra /

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DN  167484-39-1  CADI.HIS
CN  Benzamide N-[(2S)-4-[1-(aminopropyl)-1,2-dihydrospiro[3H-indole-3,4'-
    piperidin]-1'-yl]-2-(3,4-dichlorophenyl)butyl]-N,3,5-trimethyl- (9CI) (CA
    INDEX NAME)

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Absolute stereochemistry.

/ Structure 96 in file .gra /

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DN  167484-48-2  CADI.HIS
CN  Benzamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-
    1'-yl)-2-(4-fluorophenyl)butyl]-N-methyl-3,5-bis(trifluoromethyl)- (CA
    INDEX NAME)

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Absolute stereochemistry.

/ Structure 97 in file .gra /

```

DN  167484-49-3  CADI.HIS
CN  Benzamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-
    1'-yl)-2-(3-chlorophenyl)butyl]-N-methyl-3,5-bis(trifluoromethyl)- (CA
    INDEX NAME)

```

Absolute stereochemistry.

/ Structure 98 in file .gra /

```

DN  167484-50-6  CADI.HIS
CN  Benzamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-
    1'-yl)-2-(4-chlorophenyl)butyl]-N-methyl-3,5-bis(trifluoromethyl)- (CA
    INDEX NAME)

```

Absolute stereochemistry.

/ Structure 99 in file .gra /

```

DN  167484-51-7  CADI.HIS
CN  Benzamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-
    1'-yl)-2-(3,4-difluorophenyl)butyl]-N-methyl-3,5-bis(trifluoromethyl)-
    (CA INDEX NAME)

```

Absolute stereochemistry.

/ Structure 100 in file .gra /

DN 167484-55-1 CADIHS
CN Benzamide, N-[4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin-1'-yl]-2-(4-pyridinyl)butyl]-N-methyl-3,5-bis(trifluoromethyl)- (CA INDEX NAME)

/ Structure 101 in file .gra /

/ Structure 102 in file .gra /

DN 167485-05-4 CADIHS
CN Carbamic acid, [(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin-1'-yl]-2-(3,4-dichlorophenyl)butyl)methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 103 in file .gra /

DN 167485-08-7 CADIHS
CN Spiro[3H-indole-3,4'-piperidin-1'-]butanamine, 1-acetyl-5-chloro-, beta-, (3,4-dichlorophenyl)-1,2-dihydro-N-methyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 104 in file .gra /

DN 167485-11-2 CADIHS
CN Spiro[3H-indole-3,4'-piperidin-1'-]butanamine, 1-acetyl-, beta-, (3,4-dichlorophenyl)-1,2-dihydro-N,5-dimethyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 105 in file .gra /

DN 167485-12-3 CADIHS
CN Spiro[3H-indole-3,4'-piperidin-1'-]butanamine, 1-acetyl-, beta-, (3,4-dichlorophenyl)-5-fluoro-1,2-dihydro-N-methyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 106 in file .gra /

DN 167485-13-4 CADIHS
CN Spiro[3H-indole-3,4'-piperidin-1'-]butanamine, 1-acetyl-, beta-, (3,4-dichlorophenyl)-6-fluoro-1,2-dihydro-N-methyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 107 in file .gra /

167485-14-5 CADI.HIS
 Spiro[3H-indole-3,4'-piperidin]-1'-butanamine
 1-acetyl- beta- -(3,4-dichlorophenyl)-4-fluoro-1,2-dihydro-N-methyl-,
 (.beta.S)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.
 / Structure 108 in file .gra /
 DN 167485-15-6 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-4-fluoro-1,2-dihydrospiro[3H-indole-3,4']-
 piperidin)-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N-methyl- (CA INDEX NAME)
 Absolute stereochemistry.
 / Structure 109 in file .gra /
 DN 167485-16-7 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-6-fluoro-1,2-dihydrospiro[3H-indole-3,4']-
 piperidin)-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N-methyl- (CA INDEX NAME)
 Absolute stereochemistry.
 / Structure 110 in file .gra /
 DN 167485-17-8 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-4-fluoro-1,2-dihydrospiro[3H-indole-3,4']-
 piperidin)-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N,3,5-trimethyl- (CA INDEX
 NAME)
 Absolute stereochemistry.
 / Structure 111 in file .gra /
 DN 167485-18-9 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4']-
 piperidin)-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N-methyl- (CA INDEX NAME)
 Absolute stereochemistry.
 / Structure 112 in file .gra /
 DN 167485-19-0 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-5-chloro-1,2-dihydrospiro[3H-indole-3,4']-
 piperidin)-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N,3,5-trimethyl- (CA INDEX
 NAME)
 Absolute stereochemistry.
 / Structure 113 in file .gra /
 DN 167485-20-3 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4']-
 piperidin)-1'-yl)-2-(3,4-dichlorophenyl)butyl]-3-chloro-N-methyl- (CA
 INDEX NAME)
 Absolute stereochemistry.
 / Structure 114 in file .gra /
 DN 167485-21-4 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4']-

nineridin-1'-yl)-2-(3,4-dichlorophenyl)butyl]-3,5-dichloro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.
 / Structure 115 in file .gra /

DN 167485-22-5 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-nineridin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N,3-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.
 / Structure 116 in file .gra /

DN 167485-23-6 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-nineridin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N,3,5-trimethyl- (CA INDEX NAME)

Absolute stereochemistry.
 / Structure 117 in file .gra /

DN 167485-24-7 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-nineridin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N-methyl-3-(1-methylethoxy)- (CA INDEX NAME)

Absolute stereochemistry.
 / Structure 118 in file .gra /

DN 167485-25-8 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-nineridin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N-methyl-3,5-bis(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry.
 / Structure 119 in file .gra /

DN 167485-26-9 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-1,2-dihydro-5-methylspiro[3H-indole-3,4'-nineridin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N,3,5-trimethyl- (CA INDEX NAME)

Absolute stereochemistry.
 / Structure 120 in file .gra /

DN 167485-27-0 CADI.HIS
 CN 1-Naphthalenecarboxamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-nineridin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-4-fluoro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.
 / Structure 121 in file .gra /

/ Structure 122 in file .gra /

RN 167485-28-1 CADIJIS
CN 1-Naphthalenecarboxamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 123 in file .gra /

RN 167485-33-8 CADIJIS
CN 1-Naphthalenecarboxamide, N-[(2S)-4-(1-acetyl-6-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-4-fluoro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 124 in file .gra /

/ Structure 125 in file .gra /

RN 167485-34-9 CADIJIS
CN 1-Naphthalenecarboxamide, N-[(2S)-4-(1-acetyl-4-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-4-fluoro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 126 in file .gra /

/ Structure 127 in file .gra /

RN 167485-37-2 CADIJIS
CN Spiro[3H-indole-3,4'-piperidin]-1'-butanamine, 1-acetyl-, beta-[(3,4-dichlorophenyl)-5-fluoro-N-[(4-fluoro-1-naphthalenyl)methyl]-1,2-dihydro-N-methyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 128 in file .gra /

/ Structure 129 in file .gra /

RN 167485-41-8 CADIJIS
CN Benzamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-4-fluoro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 130 in file .gra /
PDI 167485-42-9 CADIJIS
CNI Benzamide N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-
piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-3-chloro-4-fluoro-N-methyl-
(CA INDEX NAME)

Absolute stereochemistry.

/ Structure 131 in file .gra /

PDI 167485-43-0 CADIJIS
CNI Benzamide N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-
piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-4-fluoro-N,3,5-trimethyl-
(CA INDEX NAME)

Absolute stereochemistry.

/ Structure 132 in file .gra /

PDI 167485-46-3 CADIJIS
CNI Benzamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-
1'-yl)-2-(3,4-dichlorophenyl)butyl]-4-fluoro-N,3,5-trimethyl- (CA INDEX
NAME)

Absolute stereochemistry.

/ Structure 133 in file .gra /

PDI 167485-47-4 CADIJIS
CNI Benzamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-
1'-yl)-2-(3,4-dichlorophenyl)butyl]-4-fluoro-N-methyl-3-(trifluoromethyl)-
(CA INDEX NAME)

Absolute stereochemistry.

/ Structure 134 in file .gra /

PDI 167485-48-5 CADIJIS
CNI 1-Naphthalenecarboxamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-
3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-4-fluoro-N-methyl-
(CA INDEX NAME)

Absolute stereochemistry.

/ Structure 135 in file .gra /

/ Structure 136 in file .gra /

PDI 167485-50-9 CADIJIS
CNI 1-Naphthalenecarboxamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-
3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N-methyl- (CA INDEX
NAME)

Absolute stereochemistry.

/ Structure 137 in file .gra /

167485-52-1 CADIJIS
Benzamide N-[4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-1-phenylbutyl]-N,3,5-trimethyl- (CA INDEX NAME)

/ Structure 138 in file .gra /

BN 167485-55-4 CADIJIS
CN Benzamide N-[4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)-1-methylbutyl]-N,3,5-trimethyl-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 139 in file .gra /

BN 167485-56-5 CADIJIS
CN Spiro[3H-indole-3,4'-piperidin]-1'-butanamine
1-acetyl-2-(3,4-dichlorophenyl)-N-(4-fluoro-1-naphthalenyl)-1,2-dihydro-N,.alpha.-dimethyl-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 140 in file .gra /

BN 167485-57-6 CADIJIS
CN Benzamide N-[4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)-1-ethylbutyl]-N,3,5-trimethyl-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 141 in file .gra /

BN 167485-58-7 CADIJIS
CN Benzamide N-[4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)-1-ethylbutyl]-N,3,5-trimethyl-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 142 in file .gra /

BN 167485-59-8 CADIJIS
CN Benzamide N-[4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)-1-propylbutyl]-N,3,5-trimethyl-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 143 in file .gra /

BN 167485-60-1 CADIJIS
CN Benzamide N-[4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)-1-propylbutyl]-N,3,5-trimethyl-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 144 in file .gra /

RN 167485-80-5 CAPLUS

Benzamide, N-[4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)-1-methylbutyl]-N,3,5-trimethyl-, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
/ Structure 145 in file .gra /

PM 167485-81-6 CADIJIS
CN Spiro[3H-indole-3,4'-piperidin]-1'-butanamine
1-acetyl-2-(3,4-dichlorophenyl)-N-(4-fluoro-1-naphthalenyl)-1,2-dihydro-N,.alpha.-dimethyl-, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
/ Structure 146 in file .gra /

PM 167485-82-7 CADIJIS
CN Benzamide, N-[4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)-1-ethylbutyl]-N,3,5-trimethyl-, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
/ Structure 147 in file .gra /

PM 167485-83-8 CADIJIS
CN Benzamide, N-[4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)-1-ethylbutyl]-N,3,5-trimethyl-, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
/ Structure 148 in file .gra /

PM 167485-84-9 CADIJIS
CN Benzamide, N-[4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)-1-propylbutyl]-N,3,5-trimethyl-, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
/ Structure 149 in file .gra /

PM 167485-85-0 CADIJIS
CN Benzamide, N-[4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)-1-propylbutyl]-N,3,5-trimethyl-, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
/ Structure 150 in file .gra /

PM 167485-90-7 CADIJIS
CN Benzoic acid, 3,5-bis(trifluoromethyl)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
/ Structure 151 in file .gra /

G 31
 DR CNT 6
 THERP ADR 31
 THERP ADR 6
 ALL CITATIONS AVAILABLE IN THE RE FORMAT
 T.16 ANSWER 50 OF 53 CADIJIS COPYRIGHT 2011 ACS on STM
 AR Spiro-substituted azacycles (Markush included) are disclosed. The comnds.
 of the invention are tachykinin receptor antagonists, esp. neurokinin-3
 receptor antagonists, and are useful in the treatment of central nervous
 system disorders, inflammatory diseases, pain, migraine, asthma, and
 emesis. Synthesis of comnds. of the invention is disclosed.
 1-[3-(S)-(3,4-dichlorophenyl)-4-(N-benzyl-N-methylamino-4-oxo-butyl)-
 4-(2-pyridyl)-piperazine] is included. is data on their ability to
 displace radioactive ligand from NK-1 receptors, NK-2 receptors, and NK-3
 receptors.
 AN 1995.759109 CAPLUS <<LOGINID::20111010>>
 DN 123.218432
 ODR 123.285993 386023
 TT Spiro-substituted azacycles as neurokinin-3 antagonists, their
 preparation, and their use for treatment of central nervous system
 disorders and other disorders
 IN Shah, Shrenik K
 DA Merck and Co., Inc., USA
 SO IT S 16 pp
 CODEN: USXXAM
 DT Patent
 TA English
 RAN CNT 1
 DT PATENT NO KIND DATE APPLICATION NO DATE
 PT FIS 5434158 A 19950718 FIS 1994-233487 19940426
 CA 2188031 A1 19951102 CA 1995-2188031 19950421
 WO 9528931 A1 19951102 WO 1995-2188031 19950421
 W. AM AU BR BZ BD BV CA CN CZ EE ET GE HI IS IT KZ
 KP KZ TK LP LT LV MD MG MN MX NO NZ PL RO RU SG,
 ST SK TT TZ UA US UZ
 PW. KF MW SN SZ TZ AT BE CH DE DK ES EP GR GP IF IT
 IT MC MT, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
 SN TD TG
 AU 9522915 A 19951116 AU 1995-22915 19950421
 EP 758228 A1 19970219 EP 1995-917091 19950421
 D. AT BE CH DE DK ES EP GR GP IF IT IT IT NT DT SF
 TD 09512272 T 19971209 TP 1995-527766 19950421
 DDAT FIS 1994-233487 A 19940426
 WO 1995-2188031 W 19950421
 ASSIGNMENT HISTORY FOR FIS PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OS CASREFACT 123.218432
 TT ***168201-72-8D***
 RI. RAC (Biological activity or effector, except adverse). RSU (Biological
 study, unclassified). SDM (Synthetic preparation). THU (Therapeutic use);
 RIOT (Biological study). DRPD (Preparation). USFS (Uses)
 (Spiro-substituted azacycles as tachykinin receptor antagonists, their
 prep. and their use for treatment of central nervous system disorders
 and other disorders)
 DN 168201-72-8 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidine]-1'-butanamide
 1-acetyl-, alpha-(3,4-dichlorophenyl)-1,2-dihydro-N-methyl-N-
 (phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 / Structure 152 in file .gra /

ANSWER 51 OF 53 CADIUS COPYRIGHT 2011 ACS on STM
A potent, orally active growth hormone (GH) secretagogue L-163,191
belonging to a recently synthesized structural class has been
characterized. L-163,191 releases GH from rat pituitary cells in culture
with EC50 = 1.3 nM and is mechanistically indistinguishable from the
GH-releasing peptide GHRP-6 and the prototypical nonpeptide GH
secretagogue L-692,429 but clearly distinguishable from the natural GH
secretagogue GH-releasing hormone L-163,191 elevates GH in dogs after
oral doses as low as 0.125 mg/kg and was shown to be specific in its
release of GH without significant effect on plasma levels of aldosterone,
T4, thyroxine and prolactin after oral administration of 1 mg/kg. Only
modest increases in cortisol were observed. Based on these properties, L-163,191
has been selected for clinical studies.
1995:700762 CAPLUS <<LOGINID::20111010>>
123.160569
123.283153 283183
Design and biological activities of L-163,191 (MK-0677): a potent, orally
active growth hormone secretagogue.
Bachett A A Marmund D D Tata T D Chen M H Barakat, K. J.;
Johnston D R P. Cheng K. Chan W W S. Butler R. et al.
Dev Med Chem Merck Res Lab Rahway, NJ 07065-0900 USA
Proceedings of the National Academy of Sciences of the United States of
America (1995) 92(15) 7001-5
CODEN: DNASAG. ISSN: 0027-8424
National Academy of Sciences
Journal
English
159634-43-2D
BI. BAC (Biological activity or effector, except adverse). BSI (Biological
study, unclassified). SDN (Synthetic preparation). THU (Therapeutic use);
BIOT. (Biological study). DPRE (Preparation). USES (Uses)
(prepn and biol activities of analogs of the nonpeptidyl L-692-429
growth hormone secretagogue)
159634-43-2 CADIUS
Propanamide, N-[(1R)-2-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-
piperidin]-1'-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-amino-2-methyl-
(CA INDEX NAME)

Absolute stereochemistry.

/ Structure 153 in file .gra /

ASC.G 259 THERE ARE 259 CAPLUS RECORDS THAT CITE THIS RECORD (260 CITINGS)

ANSWER 52 OF 53 CADIUS COPYRIGHT 2011 ACS on STM
Bisphosphonates in combination with growth hormone secretagogues (Markush
included for both bisphosphonates and growth hormone secretagogues) reduce
the deleterious effects of osteoporosis in elderly patients. Prepn. of
selected comds of the invention is described. The effect of
N-[(1R)-((1,2-dihydro-1-methanesulfonylspiro[3H-indole-3,4'-piperidin]-1'-
yl)carbonyl)-2-phenylpropan-1-yl]-2-amino-2-methylpropanamide alone and in
combination with pamidronate (3-amino-1-hydroxypropanylidene-1,1'-
bisphosphonic acid) on bone in old female rats was evaluated. Results
indicated that the growth hormone secretagogue restored bone formation
that had been suppressed by the bisphosphonate to control levels. Addnl,
the was no difference in osteoclast surface (bone resorption) as a result
of treatment with the growth hormone secretagogue.
1995:686929 CAPLUS <<LOGINID::20111010>>

(un)substituted CH2-C(O)S(O)m-etc.-m-0-2: D1 = (un)substituted alkyl-aryl-cycloalkyl-etc.: D2 = H-C1-6-alkyl-C3-7-cycloalkyl: D3a, D3b = H-halogen-C1-6-alkyl-OP2-CM-etc.: D4, D5 = H (un)substituted, C1-6-alkyl: D6 = H-C1-6-alkyl: n = 1-21 [TT: G H T T = C N S O], which promote the release of growth hormone (no data) are brand N-[1(p)-[(2'-3'-dihydro-2-oxo-spiro[piperidine-4,4'-(H)-quinolin]-1-yl)carbonyl]-2-(indol-3-yl)ethyl]-2-amino-2-methylpropanamide hydrochloride was brand in 7 steps from 1'-[(tert-butylloxycarbonyl)spiro[1H-indene-1,4'-piperidine]]. 1995.511384 CAPLUS <<LOGINID::20111010>> 122.213945 122.291072 391102 Spiro piperidines and homologs which promote release of growth hormone (Chen, Meng-Hsin, Johnston, David B. R.; Nargund, Ravi P.; Patchett, Arthur A.; Tate, James P.; Varg, Lihu A.; Tetz, James P.; Varg, Lihu DCT Int. Appl. Inc. USA, Lihu CONFIN. PIXXD2., 155 pp. PATENT English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9413696	A1	19940623	WO 1993-TT511038	19931115
W. RR RQ RD RV RT RTT	CP CZ CT	TT TTA TTS	KZ, LK, LV, MG, MN, MW, NO, NZ,	
DT. DQ DQT	CP CZ CT	CM CA	MT. MD NE SN TD TQ	
ITC 5536716	A	19960716	ITC 1993-147226	19931103
ITC 5578593	A	19961126	ITC 1993-146848	19931103
DT. 176993	B1	19990831	DT. 1993-309331	19931115
DTI 2168512	C2	20010610	DTI 1995-113349	19931115
SK 282166	B6	20011106	SK 1995-759	19931115
ET 9502862	A	19950609	ET 1995-2862	19950609
ET 9502863	A	19950609	ET 1995-2863	19950609
NO 9502294	A	19950810	NO 1995-2294	19950609
NO 9502295	A	19950810	NO 1995-2295	19950609
ITC 1993-147226	A	19931103		
ITC 1993-989322	A	19931211		
ITC 1993-146848		19931103		
WO 1993-TT511038	W	19931115		
WO 1993-TT511137	W	19931115		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MAPDAT 122.213945
IT ***159634-43-2***
DT. DCT (Reactant). DACT (Reactant or reagent)
(growth hormone release promoter)
DN 159634-43-2 CADTIS
CN Propanamide, N-[(1p)-2-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-amino-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 156 in file .gra /

IT ***159633-96-2D***
DT. SDN (Synthetic preparation). DDED (Preparation)
(prepn of for growth hormone release promotion)
DN 159633-96-2 CADTIS
CN Propanamide, N-[(2-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-amino-2-methyl-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 157 in file .gra /

OSCG 33 THERE ARE 33 CADDIS RECORDS THAT CITE THIS RECORD (41 CITINGS)
DE CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~ FILE STNGUIDE

COST IN U S DOLLARS

SINCE FILE

TOTAL

ENTDV

SECTION

FULL ESTIMATED COST

55.72

751.38

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTDV

SECTION

CA SUBSCRIBER PRICE

-7.83

-19.14

FILE 'STNGUIDE' ENTERED AT 15.14.31 ON 10 OCT 2011
FILE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
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FILE CONTAINS CURRENT INFORMATION

LAST RELOADED: Oct 7, 2011 (20111007/UP).